Figure 1

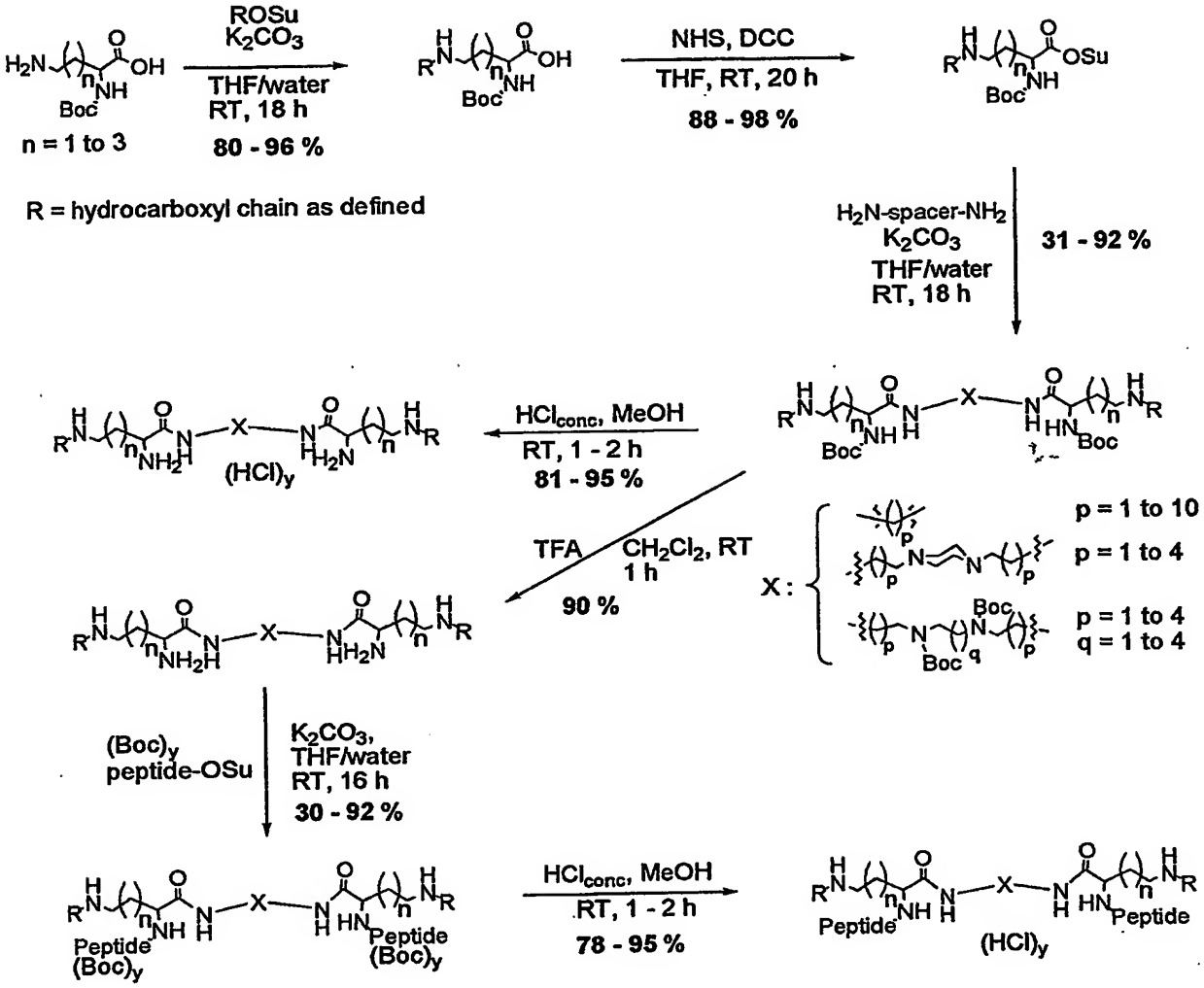

ROSu
K2CO3
THF/water
RT, 18 h
80 - 96 %
n = 1 to 3
NHS, DCC
THF, RT, 20 h
88 - 98 %
R = hydrocarboxyl chain as defined
H2N-spacer-NH2
K2CO3
THF/water
RT, 18 h
31 - 92 %
HClconc, MeOH
RT, 1 - 2 h
81 - 95 %
TFA
CH2Cl2, RT
1 h
90 %
X:
p = 1 to 10
p = 1 to 4
p = 1 to 4
q = 1 to 4
(Boc)y
peptide-OSu
K2CO3,
THF/water
RT, 16 h
30 - 92 %
HClconc, MeOH
RT, 1 - 2 h
78 - 95 %

Figure 2

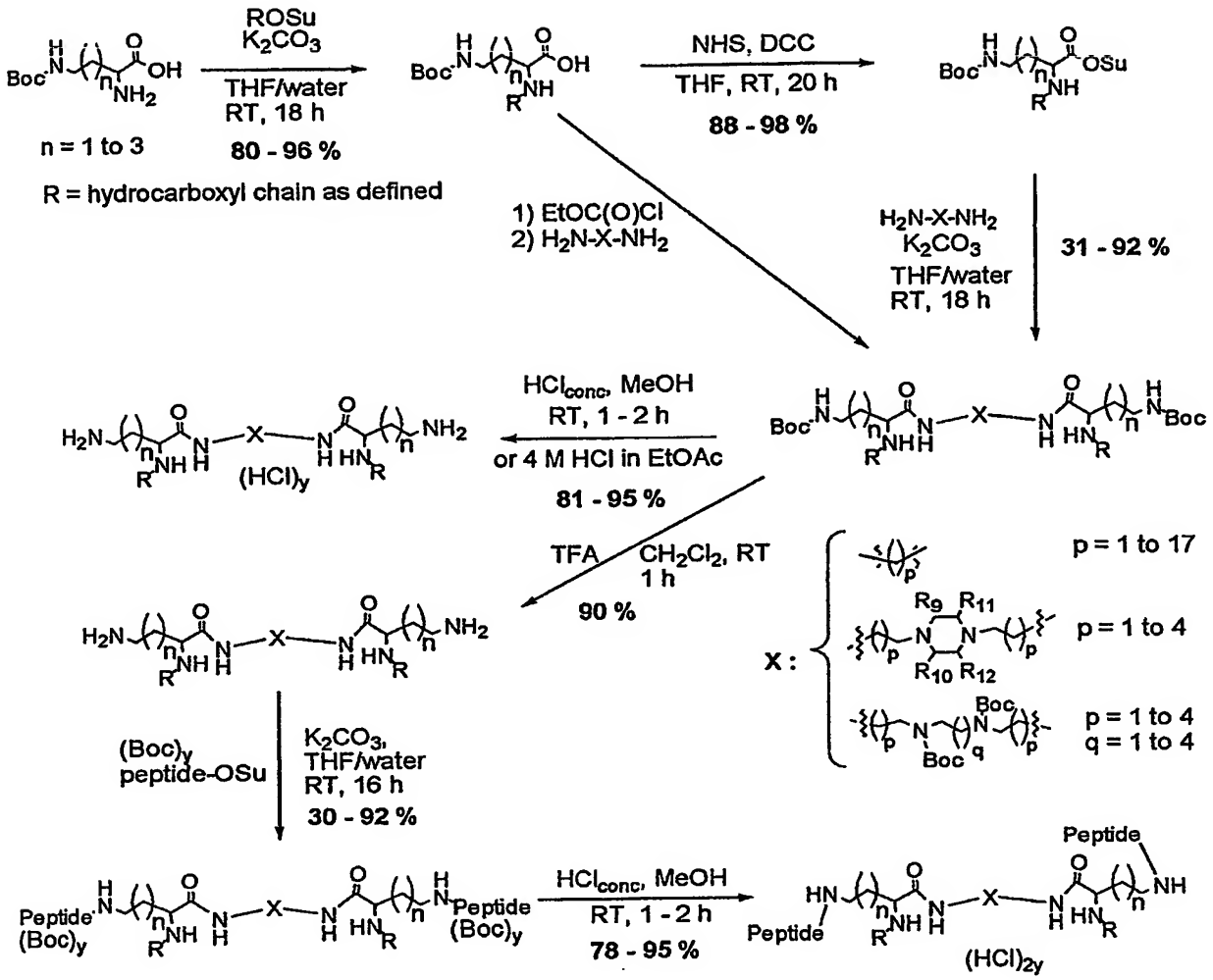

ROSu
K_2CO_3
THF/water
RT, 18 h
80 - 96 %
n = 1 to 3
R = hydrocarboxyl chain as defined
NHS, DCC
THF, RT, 20 h
88 - 98 %
1) EtOC(O)Cl
2) H_2N-X-NH_2
H_2N-X-NH_2
K_2CO_3
THF/water
RT, 18 h
31 - 92 %
HCl_{conc}, MeOH
RT, 1 - 2 h
or 4 M HCl in EtOAc
81 - 95 %
TFA CH_2Cl_2, RT
1 h
90 %
X :
p = 1 to 17
p = 1 to 4
p = 1 to 4
q = 1 to 4
$(Boc)_y$
peptide-OSu
K_2CO_3,
THF/water
RT, 16 h
30 - 92 %
HCl_{conc}, MeOH
RT, 1 - 2 h
78 - 95 %
Peptide
$(HCl)_{2y}$

Figure 3

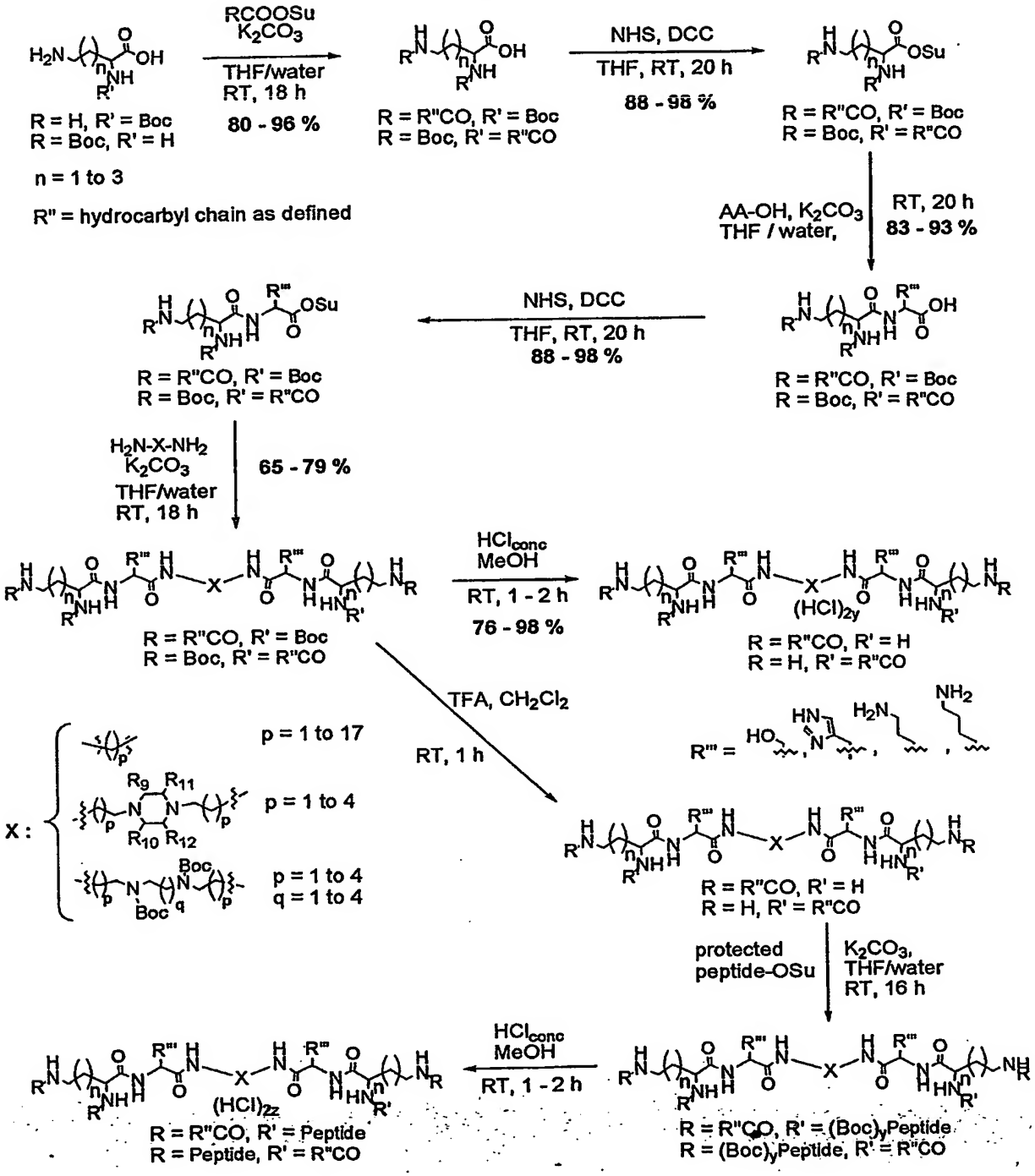

RCOOSu
K2CO3
THF/water
RT, 18 h
80 - 96 %
R = H, R' = Boc
R = Boc, R' = H
n = 1 to 3
R" = hydrocarbyl chain as defined
R = R"CO, R' = Boc
R = Boc, R' = R"CO
NHS, DCC
THF, RT, 20 h
88 - 98 %
AA-OH, K2CO3
THF / water,
RT, 20 h
83 - 93 %
H2N-X-NH2
K2CO3
THF/water
RT, 18 h
65 - 79 %
HClconc
MeOH
RT, 1 - 2 h
76 - 98 %
(HCl)2y
TFA, CH2Cl2
RT, 1 h
X :
p = 1 to 17
p = 1 to 4
p = 1 to 4
q = 1 to 4
protected
peptide-OSu
K2CO3,
THF/water
RT, 16 h
(HCl)2z
R = R"CO, R' = Peptide
R = Peptide, R' = R"CO
R = R"CO, R' = (Boc)yPeptide
R = (Boc)yPeptide, R' = R"CO

Figure 4

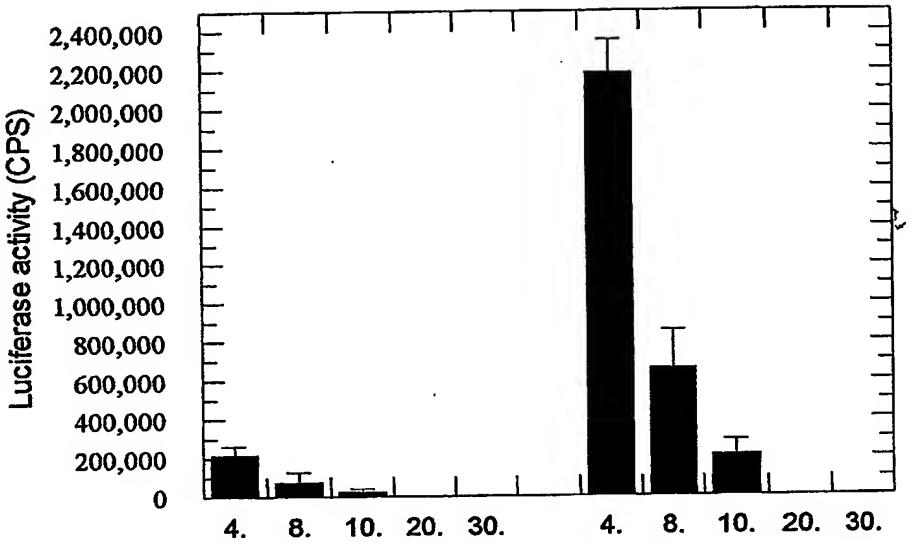

Luciferase activity (CPS)
2,400,000
2,200,000
2,000,000
1,800,000
1,600,000
1,400,000
1,200,000
1,000,000
800,000
600,000
400,000
200,000
0
4.
8.
10.
20.
30.
4.
8.
10.
20.
30.

Figure 5

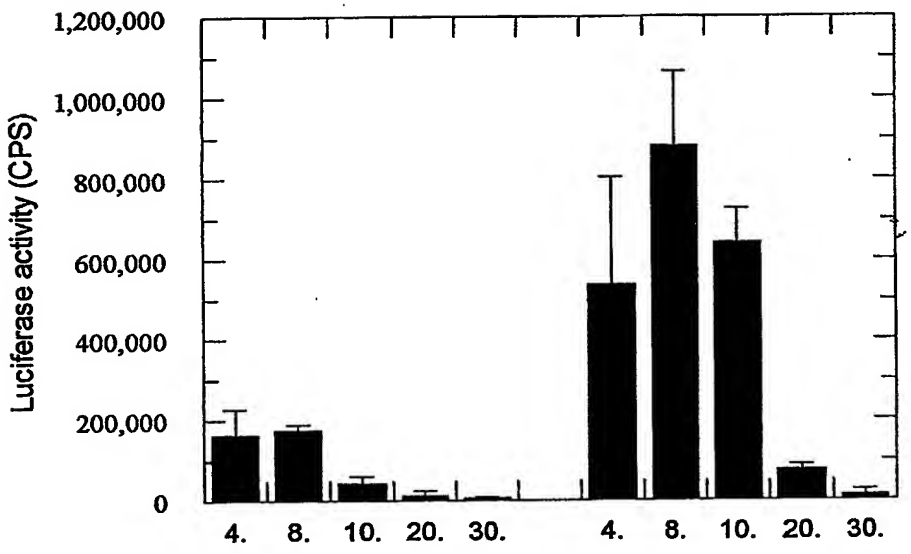
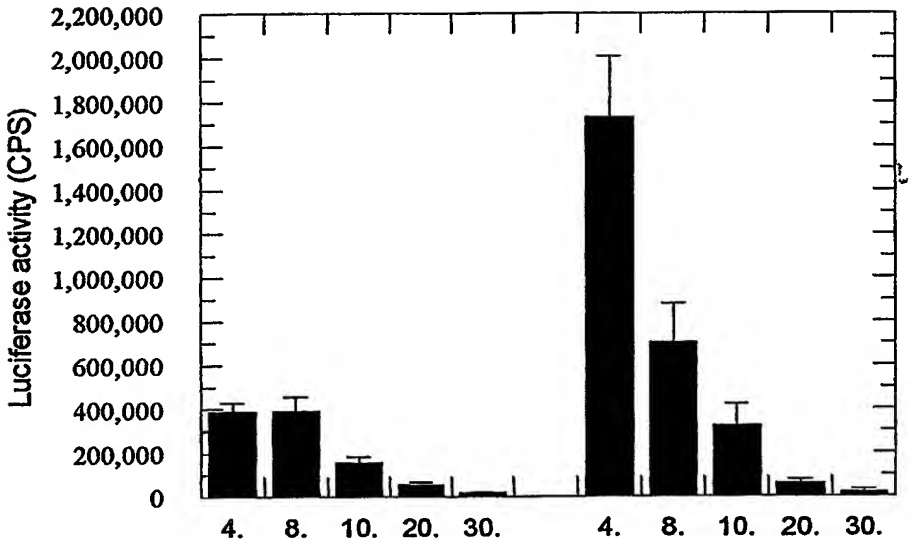

Luciferase activity (CPS)
1,200,000
1,000,000
800,000
600,000
400,000
200,000
0
4.
8.
10.
20.
30.
4.
8.
10.
20.
30.

Figure 6


Luciferase activity (CPS)
2,200,000
2,000,000
1,800,000
1,600,000
1,400,000
1,200,000
1,000,000
800,000
600,000
400,000
200,000
0
4.
8.
10.
20.
30.
4.
8.
10.
20.
30.